

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization International Bureau



(43) International Publication Date  
21 July 2005 (21.07.2005)

PCT

(10) International Publication Number  
**WO 2005/066152 A1**

(51) International Patent Classification<sup>7</sup>: C07D 333/40,  
A61K 31/381, A61P 35/00, G01N 33/68

(74) Agent: FINCH, Stephen, R.; Wolf, Greenfield & Sacks,  
P.C., 600 Atlantic Avenue, Boston, MA 02210 (US).

(21) International Application Number:  
PCT/US2004/043950

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(22) International Filing Date:  
29 December 2004 (29.12.2004)

(25) Filing Language: English

(26) Publication Language: English

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

(30) Priority Data:  
60/533,720 30 December 2003 (30.12.2003) US

Published:

- with international search report
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments

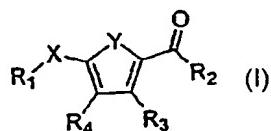
For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(71) Applicants (for all designated States except US):  
THE BRIGHAM AND WOMEN'S HOSPITAL, INC. [US/US]; 75 Francis Street, Boston, MA 02115 (US). DANA-FARBER CANCER INSTITUTE, INC. [US/US]; 44 Binney Street, Boston, MA 02155 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): NICHOLSON, Melissa [NZ/US]; 9 Howard Street, Cambridge, MA 02139 (US). WUCHERPENNIG, Kai [DE/US]; 67 Highland Road, Brookline, MA 02445 (US). STEIN, Ross, L. [US/US]; 14 Hawes Road, Sudbury, MA 01776 (US). YEH, Li-An [US/US]; 18 Shady Oak Lane, Natick, MA 01760 (US). CUNY, Gregory, D. [US/US]; 373 Highland Ave., Apt. 304, Somerville, MA 02144 (US).

(54) Title: THIOPHENE DERIVATIVES FOR UP-REGULATING HLA-DM ACTIVITY



(57) Abstract: Compounds of formula (I), compositions, methods and kits are provided. The compounds and compositions may be particularly useful for modulating immunological responses. Formula (I) wherein, R<sub>1</sub> is alkyl, aryl, or heterocycl; R<sub>2</sub> is H, alkyl, aryl, heterocycl, OR<sub>3</sub> or N(R<sub>3</sub>)<sub>2</sub>, R<sub>3</sub> is H, alkyl, aryl, or heterocycl; R<sub>4</sub> is H, CN, halogen, CF<sub>3</sub>, CO<sub>2</sub>R<sub>3</sub>, or C(O)N(R<sub>3</sub>)<sub>2</sub>; X is S, SO<sub>2</sub>, O, or NR<sub>5</sub>; and Y is S, O, or NR<sub>3</sub>.

WO 2005/066152 A1